

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
16 June 2005 (16.06.2005)

PCT

(10) International Publication Number
WO 2005/053614 A3

(51) International Patent Classification⁷: A61K 31/404,
31/5375, C07D 209/04, 413/12

(21) International Application Number:
PCT/US2004/039728

(22) International Filing Date:
26 November 2004 (26.11.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/525,430 26 November 2003 (26.11.2003) US
60/545,721 18 February 2004 (18.02.2004) US

(71) Applicant (for all designated States except US): **THE
SCRIPPS RESEARCH INSTITUTE** [US/US]; 10550
North Torrey Pines Road, La Jolla, CA 92037 (US).

(72) Inventor; and

(75) Inventor/Applicant (for US only): **LIANG, Congxin**
[US/US]; 110 Florence Drive, Jupiter, FL 33458 (US).

(74) Agents: **FITTING, Thomas et al.**; The Scripps Research
Institute, 10550 North Torrey Pines Road, TPC-8, La Jolla,
CA 92037 (US).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, **BR**, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, **HR**, HU, **ID**, IL, IN, IS, **JP**, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

(88) Date of publication of the international search report:
23 February 2006

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: **ADVANCED INDOLINONE BASED PROTEIN KINASE INHIBITORS**

(57) Abstract: Hydroxy carboxy pyrrolyl-indolinone derivatives have enhanced and unexpected drug properties as inhibitors of protein kinases and are useful in treating disorders related to abnormal protein kinase activities such as cancer.



WO 2005/053614 A3

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US04/39728

A. CLASSIFICATION OF SUBJECT MATTER		
IPC(7) : A61K 31/404, 31/5375; C07D 209/04, 413/12		
US CL : 544/106, 144, 358, 373; 548/469, 486		
According to International Patent Classification (IPQ or to both national classification and IPC)		
B. FIELDS SEARCHED		
Minimum documentation searched (classification system followed by classification symbols) U.S. : 544/106, 144, 358, 373; 548/469, 486		
Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched		
Electronic data base consulted during the international search (name of data base and, where practicable, search terms used) EAST, CAS ONLINE		
C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 6,653,308 B2 (GUAN et al.) 25 Novel, Ser 2003 (25.11.2003).	1-32
<input type="checkbox"/> Further documents are listed in the continuation of Box C.		
D See patent family annex.		
* Special categories of cited documents:		
"A"	document defining the general state of the art which is not considered to be of particular relevance	"T" later document published after the international filing date or priority date and not in conflict with the application but rated to understand the principle or theory underlying the invention
"E"	earlier application or patent published on or after the international filing date	"X" document of particular relevance, the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"L"	document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	"Y" document of particular relevance, the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"O"	document referring to an oral disclosure, use, exhibition or other means	"&" document member of the same patent family
"P"	document published prior to the international filing date but later than the priority date claimed	
Date of the actual completion of the international search 30 November 2005 (30.11.2005)		Date of mailing of the international search report 07 DEC 2005
Name and mailing address of the ISA/US Mail Stop PCT, Attn: ISA/US Commissioner for Patents P.O. Box 1450 Alexandria, Virginia 223 13-1450 Facsimile No. (571) 273-3201		Authorized officer Golam M. M. Shameem, Ph.D. Telephone No. (571) 272-1600